Please substitute the following paragraph for the second paragraph on page 18 of the specification.

Page 18, paragraph 2 (Once Amended)

In the above-mentioned formulae, Ring B is an optionally-substituted cyclic hydrocarbon group. The cyclic hydrocarbon group may be a 3- to 14-membered one, but preferably a 5- to 8-membered one, more preferably a 5- or 6-membered one. Preferably, Ring B is an optionally-substituted aromatic hydrocarbon group. In particular, an optionally-substituted phenyl group is much used for it. The cyclic hydrocarbon group for Ring B may be, for example, an alicyclic hydrocarbon group having from 3 to 14 carbon atoms, or an aromatic hydrocarbon group having from 6 to 14 carbon atoms. The alicyclic hydrocarbon group includes, for example, a C_{3-14} cycloalkyl group (e.g., cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, etc.), a C_{3-14} cycloalkenyl group (e.g., cyclopentenyl, cyclohexenyl, etc.), a C_{5-14} cycloalkadienyl group (e.g., 2,4-cyclopentadienyl, 1,3-cyclohexadienyl, etc.), an indanyl group, etc. Preferably, it is a 5- to 8-membered alicyclic hydrocarbon group. The aromatic hydrocarbon group for Ring B may be, for example, an aromatic hydrocarbon group having from 6 to 14 carbon atoms (e.g., a C_{6-14} aryl group, such as phenyl, naphthyl, anthranyl, phenanthryl, etc.). Preferably, it is a 6- to 10-membered aromatic hydrocarbon group; and more preferred is a phenyl group.

a2

Please substitute the following paragraph for the first paragraph on page 19 of the specification.

Page 19, paragraph 1 (Once Amended)

a3

The substituents which the alicyclic hydrocarbon group and the aromatic hydrocarbon group for Ring B may have include, for example, a halogen atom (e.g., fluorine, chlorine, bromine, iodine, etc.), a C_{1-6} alkyl group (e.g., methyl, ethyl, propyl, butyl, sec-butyl, t-butyl,

isopropyl, etc.), a halogeno-C_{1-6} alkyl group (e.g., a C_{1-6} alkyl group substituted by from 1 to 5 halogen atoms such as those mentioned above, etc.; e.g., trifluoromethyl, etc.), a phenyl group, a benzyl group, a C_{1-6} alkoxy group (e.g., methoxy, ethoxy, propoxy, butoxy, sec-butoxy, t-butoxy, isopropoxy, etc.), a halogeno-C_{1-6} alkoxy group (e.g., a C_{1-6} alkoxy group substituted by from 1 to 5 halogen atoms such as those mentioned above, etc.; e.g., trifluoromethoxy, chloropropyloxy, etc.), a phenoxy group, a C_{7-14} aralkyloxy group (e.g., benzyloxy, phenethyloxy, phenylpropyloxy, etc.), a formyloxy group, a C_{1-6} alkyl-carbonyloxy group (e.g., acetyloxy, etc.), a C_{1-6} alkylthio group (e.g., methylthio, ethylthio, propylthio, butylthio, sec-butylthio, t-butylthio, isopropylthio, etc.), a halogeno-C_{1-6} alkylthio group (e.g., a C_{1-6} alkylthio group substituted by from 1 to 5 halogen atoms such as those mentioned above; e.g., trifluoromethylthio, etc.), a hydroxy group, a mercapto group, a cyano group, a nitro group, a carboxyl group, a formyl group, a C_{1-6} alkyl-carbonyl group (e.g., acetyl, propionyl, etc.), a benzoyl group, a C_{1-6} alkoxy-carbonyl group (e.g., methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, etc.), a phenoxycarbonyl group, an amino group, a mono- or di-C_{1-6} alkylamino group (e.g., methylamino, ethylamino, dimethylamino, diethylamino, etc.), a formylamino group, a C_{1-6} alkyl-carbonylamino group (e.g., acetylamino, propionylamino, butyrylamino, etc.), a carbamoyl group, a thiocarbamoyl group, a mono- or di-C_{1-6} alkyl-carbamoyl group (e.g., N-methylcarbamoyl, N-ethylcarbamoyl, N,N-dimethylcarbamoyl, N,N-diethylcarbamoyl, etc.), a mono- or di-C_{1-6} alkyl-thiocarbamoyl group (e.g., N-methylthiocarbamoyl, N-ethylthiocarbamoyl, N,N-dimethylthiocarbamoyl, N,N-diethylthiocarbamoyl, etc.), a sulfo group, a C_{1-6} alkylsulfonyl group (e.g., methylsulfonyl, ethylsulfonyl, propylsulfonyl, etc.), a benzoyl-C_{1-6} alkoxy group (e.g., benzoylmethyloxy, etc.), a hydroxy-C_{1-6} alkoxy group (e.g., hydroxyethyloxy, etc.), a C_{1-6} alkoxy-carbonyl-C_{1-6} alkoxy group (e.g., methoxycarbonylmethyloxy, etc.), a C_{3-14} cycloalkyl-C_{1-6} alkoxy group (e.g., cyclohexylmethyloxy, etc.), an imidazol-1-yl-C_{1-6} alkoxy group (e.g., imidazol-1-ylpropyloxy,

A 3 cont

etc.), a C_{7-14} aralkyloxy-carbonyl-C_{1-6} alkoxy group (e.g., benzyloxycarbonylmethyloxy, etc.), a hydroxyphenyl-C_{1-6} alkoxy group (e.g., [3-(4-hydroxyphenyl)propyl]oxy, etc.), a C_{7-14} aralkyloxy-carbonyl group (e.g., benzyloxycarbonyl, phenethyloxycarbonyl, phenylpropyloxycarbonyl, etc.), a mono- or di-C_{1-6} alkylamino-C_{1-6} alkoxy group (e.g., methylaminomethoxy, ethylaminoethoxy, dimethylaminomethoxy, etc.), a mono- or di-C_{1-6} alkylamino-carbonyloxy group (e.g., methylaminocarbonyloxy, ethylaminocarbonyloxy, dimethylaminocarbonyloxy, etc.), etc. The alicyclic hydrocarbon group and the aromatic hydrocarbon group may have from 1 to 4 substituents selected from those mentioned above.

Please substitute the following paragraph for the third paragraph on page 21 of the specification.

Page 21, paragraph 3 (Once Amended)

The nitrogen-containing hetero ring to be formed by the adjacent atoms of Ring B and L and R^2 bonded thereto may be, for example, a bicyclic, condensed, nitrogen-containing hetero ring (preferably, a bicyclic, non-aromatic, condensed, nitrogen-containing hetero ring) formed through condensation of an optionally-substituted cyclic hydrocarbon group (e.g., benzene ring, etc.) of Ring B and a 5- or 6-membered monocyclic hetero ring (preferably, a monocyclic non-aromatic hetero ring) having at least one nitrogen atom and additionally having one or two hetero atoms selected from nitrogen, oxygen and sulfur atoms, etc. Concretely, it includes tetrahydroisoquinolines (e.g., 1,2,3,4-tetrahydroisoquinoline), tetrahydroquinolines (e.g., 1,,3,4-tetrahydroquinoline), isoindolines, indolines, 2,3-dihydrobenzothiazoles, 2,3-dihydrobenzoxazoles, 3,4-dihydro-2H-1,4-benzothiazines, 3,4-dihydro-2H-1,4-benzoxazines, 1,2,3,4-tetrahydroquinoxalines, 2,3,4,5-tetrahydro-1,4-benzoxazepines, etc. Of those, preferred are tetrahydroisoquinolines. For the substituents which the optionally-substituted nitrogen-containing hetero ring may have, referred to are the same as those mentioned hereinabove for the

alicyclic hydrocarbon group and the aromatic hydrocarbon group for Ring B. The optionally-substituted nitrogen-containing hetero ring may have from 1 to 4 substituents selected from the above-mentioned ones.

Please substitute the following paragraph for the third paragraph on page 23 of the specification.

Page 23, paragraph 3 (Once Amended)

The heterocyclic group for Z includes, for example, a monocyclic heterocyclic group, a polycyclic, condensed heterocyclic group, etc. The monocyclic heterocyclic group may be, for example, a 5- or 6-membered monocyclic heterocyclic group having from 1 to 4 hetero atoms selected from nitrogen, oxygen and sulfur atoms, in addition to carbon atoms. Concretely, it includes, for example, a monocyclic aromatic heterocyclic group (e.g., furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, furazanyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, triazinyl, etc.), a monocyclic non-aromatic heterocyclic group (e.g., oxiranyl, azetidinyl, oxetanyl, thietanyl, pyrrolidinyl, tetrahydrofuryl, thiolanyl, piperidyl, tetrahydropyranyl, morpholinyl, thiomorpholinyl, piperazinyl, etc.), etc. The polycyclic condensed heterocyclic group includes, for example, a di- or tri-cyclic aromatic condensed heterocyclic group to be formed through condensation of two or three monocyclic aromatic hetero rings such as those mentioned hereinabove, a di- or tri-cyclic aromatic condensed heterocyclic group to be formed through condensation of one or two monocyclic aromatic hetero rings such as those mentioned above along with a benzene ring (preferably, a di- or tri-cyclic aromatic condensed heterocyclic group to be formed through condensation of one or two monocyclic aromatic hetero rings such as those mentioned above along with a benzene ring), and their partially reduced groups, etc. Concretely, it includes a

polycyclic aromatic condensed heterocyclic group (e.g., benzofuryl, isobenzofuryl, benzo[b]thienyl, indolyl, isoindolyl, 1H-indazolyl, benzimidazolyl, benzoxazolyl, 1,2-benzoisoxazolyl, benzothiazolyl, 1,2-benzoisothiazolyl, 1H-benzotriazolyl, quinolyl, isoquinolyl, cinnolyl, quinazolinyl, quinoxalinyl, phthalazinyl, naphthyridinyl, purinyl, pteridinyl, dibenzofuryl, carbazolyl, α-carbolinyl, β-carbolinyl, γ-carbolinyl, acridinyl, phenoxazinyl, phenothiazinyl, phenazinyl, phenoxathiinyl, thianthrenyl, phenathridinyl, phenathrolinyl, indolidinyl, pyrrolo[1,2-b]pyridazinyl, pyrazolo[1,5-a] pyridyl, imidazo[1,2-a]pyridyl, imidazo[1,5-a]pyridyl, imidazo[1,2-a]pyridazinyl, imidazo[1,2-a]pyrimidinyl, 1,2,4-triazolo[4,3-a]pyridyl, 1,2,4-triazolo[4,3-b]pyridazinyl, etc.), a polycyclic non-aromatic condensed heterocyclic group (e.g., isochromanyl, chromanyl, indolyl, isoindolyl, 1,2,3,4-tetrahydroisoquinolyl, 1,2,3,4-tetrahydroquinolyl, etc.), etc.

Please substitute the following paragraph for the fourth paragraph on page 30 of the specification.

Page 30, paragraph 4 (Once Amended)

The optionally-substituted amino group, one of the substituents for the hydrocarbon group for R^1 and for D, G and L, includes, for example, (1) an amino group optionally having one or two substituents selected from (i) a C_{1-6} alkyl group optionally substituted by from 1 to 5 halogen atoms such as those mentioned above, or by a C_{1-6} alkoxy group (e.g., methyl, ethyl, propyl, isopropyl, trifluoromethyl, etc.), a C_{6-10} aryl group (e.g., phenyl, etc.), a C_{7-14} aralkyl group (e.g., benzyl, etc.), (ii) a formyl group, a C_{1-6} alkyl-carbonyl group (e.g., acetyl, propionyl, butyryl, etc.), a C_{6-14} aryl-carbonyl group (e.g., benzoyl, etc.), (iii) a C_{1-6} alkoxy-carbonyl group (e.g., methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, sec-propoxycarbonyl, butoxycarbonyl, etc.), a C_{7-14} aralkyloxy-carbonyl group (e.g., benzyloxycarbonyl,

phenethyloxycarbonyl, phenylpropyloxycarbonyl, etc.), (iv) a sulfo group, a C_{1-6} alkylsulfonyl group (e.g., methylsulfonyl, ethylsulfonyl, propylsulfonyl, sec-propylsulfonyl, butylsulfonyl, t-butylsulfonyl, etc.), a C_{6-14} arylsulfonyl group (e.g., benzenesulfonyl, naphthalenesulfonyl, anthracenesulfonyl, etc.) and (v) a C_{1-6} alkylamino-carbonyl group (e.g., methylaminocarbonyl, ethylaminocarbonyl, propylaminocarbonyl, butylaminocarbonyl, dimethylaminocarbonyl, etc.), etc., and (2) a 5- or 6-membered, optionally-substituted cyclic amino group such as a pyrrolidinyl group, a piperidyl group, a morpholinyl group, a thiomorpholinyl group, a 4-methylpiperidyl group, a 4-phenylpiperidyl group, etc.

a6 cancel

Please substitute the following paragraph for the fifth paragraph on page 41 of the specification.

Page 41, paragraph 5 (Once Amended)

The heterocyclic group of the optionally-substituted heterocyclic group, one of the substituents for the hydrocarbon group for R^1 and for L, includes, for example, a 5- or 6-membered monocyclic heterocyclic group having from 1 to 4 hetero atoms selected from nitrogen, oxygen and sulfur atoms, in addition to carbon atoms (e.g., furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, furazanyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, triazinyl, oxiranyl, azetidinyl, oxetanyl, thietanyl, pyrrolidinyl, tetrahydrofuryl, thiolanyl, piperidyl, tetrahydropyranyl, morpholinyl, thiomorpholinyl, piperazinyl, etc.); as well as a di- or tri-cyclic condensed heterocyclic group to be formed through condensation of 5- or 6-membered monocyclic hetero rings such as those mentioned hereinabove, and a di- or tri-cyclic condensed heterocyclic group to be formed through condensation of such 5- or 6-membered monocyclic hetero rings along with a benzene ring (preferably, a benzene ring-containing, di- or tri-cyclic condensed

a7

heterocyclic group) (e.g., benzofuryl, isobenzofuryl, benzo[b]thienyl, indolyl, isoindolyl, 1H-indazolyl, benzimidazolyl, benzoxazolyl, 1,2-benzoisoxazolyl, benzothiazolyl, 1,2-benzoisothiazolyl, 1H-benzotriazolyl, quinolyl, isoquinolyl, cinnolyl, quinazolinyl, quinoxalinyl, phthalazinyl, naphthyridinyl, purinyl, pteridinyl, dibenzofuryl, carbazolyl, α-carbolinyl, β-carbolinyl, γ-carbolinyl, acridinyl, phenoxazinyl, phenothiazinyl, phenazinyl, phenoxathiinyl, thianthrenyl, phenathridinyl, phenathrolinyl, indolidinyl, pyrrolo[1,2-b]pyridazinyl, pyrazolo[1,5-a]pyridyl, imidazo[1,2-a]pyridyl, imidazo[1,5-a]pyridyl, imidazo[1,2-a]pyridazinyl, imidazo[1,2-a]pyrimidinyl, 1,2,4-triazolo[4,3-a]pyridyl, 1,2,4-triazolo[4,3-b]pyridazinyl, isochromanyl, chromanyl, indolyl, isoindolyl, etc.), etc. For the substituents which the heterocyclic group may have, referred to are those mentioned hereinabove for the substituents for the aromatic ring for Ring A. In addition to those, the substituents for the heterocyclic group further include an oxy group, a pyrrolidinyl group, etc. The heterocyclic group may have from 1 to 5 substituents selected from them.

Please substitute the following paragraph for the second paragraph on page 72 of the specification.

Page 72, paragraph 2 (Once Amended)

The solvent which may be used in the Curtius transfer reaction of the product obtained through the reaction as above includes, for example, hydrocarbon solvents (e.g., benzene, toluene, xylene, etc.), ether solvents (e.g., diethyl ether, tetrahydrofuran, dioxane, etc.), halogen-containing solvents (e.g., dichloromethane, dichloroethane, chloroform, etc.), dimethylformamide, etc. The reaction temperature may fall between 50 and 200°C, but preferably between 80 and 150°C; and the reaction time may fall between 0.5 and 12 hours, preferably between 1 and 3 hours.

Please substitute the following paragraph for the third paragraph on page 72 of the specification.

Page 72, paragraph 3 (Once Amended)

The solvent which may be used in the step or processing the resulting product with an acid includes, for example, water, dioxane, dimethylformamide, etc. The acid to be used may be a mineral acid, including, for example, sulfuric acid, hydrochloric acid, nitric acid, hydrobromic acid, etc. The reaction temperature may fall between 20 and 200°C, but preferably between 50 and 100°C; and the reaction time may fall between 0.5 and 5 hours, preferably between 1 and 2 hours.

a9

Please substitute the following paragraph for the third paragraph on page 74 of the specification.

Page 74, paragraph 3 (Once Amended)

The compounds (Ia-f) or their salts may be produced, for example, by reacting a compound (IIa) or its salt with a compound (VII) or its salt in a solvent, optionally in the presence of a base, by the use of a condensation agent. The solvent usable in the reaction includes, for example, ether solvent (e.g., diethyl ether, tetrahydrofuran, dioxane, etc.), halogen-containing solvent (e.g., dichloromethane, dichloroethane, chloroform, carbon tetrachloride, etc.), acetonitrile, dimethylformamide, etc. The base usable therein includes, for example, triethylamine, 4-dimethylaminopyridine, triethylenediamine, tetramethylethylenediamine, etc. The condensation agent usable therein may be any ordinary one generally employed in peptide production. Concretely, it includes, for example, dicyclohexylcarbodiimide, diethyl cyanophosphate, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide, etc. For example, from 0.5 to 2 molar equivalents, preferably from 1 to 1.2 molar equivalents of the compound (VII) or its salt

a10

is reacted with one mol of the compound (IIa) or its salt, and the amount of the condensation agent to be used in the reaction may fall between 0.5 and 5 molar equivalents, preferably between 1 and 2 molar equivalents. The reaction temperature may fall between 0 and 100°C, but preferably between 20 and 50°C; and the reaction time may fall between 0.5 and 24 hours, preferably between 1 and 5 hours.

Please substitute the following paragraph for the third paragraph on page 89 of the specification.

Page 89, paragraph 3 (Once Amended)

Prodrugs of the compounds having a somatostatin receptor function-regulating effect for use in the invention are meant to indicate compounds capable of being converted into the intended compounds having a somatostatin receptor function-regulating effect through reaction with enzymes, gastric acids or the like in vivo or under physiological conditions, including, for example, those capable of being enzymatically oxidized, reduced or hydrolyzed to give the intended compounds having a somatostatin receptor function-regulating effect, those capable of being hydrolyzed with gastric acids to give the intended compounds having a somatostatin receptor function-regulating effect. Concretely, such prodrugs of the compounds having a somatostatin receptor function-regulating effect include those derived from the compounds having a somatostatin receptor function-regulating effect by acylating, alkylating of phosphorylating the amino group of the compounds (e.g., those derived from the compounds having a somatostatin receptor function-regulating effect by eicosanoylating, alanylating, pentylaminocarbonylating, (5-methyl-2-oxo-1,3-dioxolen-1-yl)methoxycarbonylating, tetrahydrofuranylating, pyrrolidylmethylating, pivaloyloxymethylating or tert-butylating the amino group of the compounds, etc.); those derived from the compounds having a somatostatin

receptor function-regulating effect by acylating, alkylating, phosphorylating or borylating the hydroxy group of the compounds (e.g., those derived from the compounds having a somatostatin receptor function-regulating effect by acetylating, palmitoylating, propanoylating, pivaloylating, succinylating, fumarylating, alanylating or dimethylaminomethylcarbonylating the hydroxy group of the compounds, etc.); those derived from the compounds having a somatostatin receptor function-regulating effect by esterifying or amidating the carboxyl group of the compounds (e.g., those derived from the compounds having a somatostatin receptor function-regulating effect by ethyl-esterifying, phenyl-esterifying, carboxymethyl-esterifying, dimethylaminomethyl-esterifying, pivaloyloxymethyl-esterifying, ethoxycarbonyloxyethyl-esterifying, phthalidyl-esterifying, (5-methyl-2-oxo-1,3-dioxolen-4-yl)methyl-esterifying, cyclohexyloxycarbonylethyl-esterifying or methyl-amidating the carboxyl group of the compounds, etc.), etc. These compounds may be derived from the compounds having a somatostatin receptor function-regulating effect in any per-se known method.

A11 cancel

Please substitute the following paragraph for the second paragraph on page 274 of the specification.

Page 274, paragraph 2 (Once Amended)

A12

(1) A mixture of N-(2-fluorobenzyl)-4-[N'-[2-[3-(tert-butoxycarbonylaminomethyl)phenoxy]-4-chlorophenyl]-N'-chloroacetyl]aminobutylamide (0.67 g, 1.1 mmols), 6-ethoxy-2-mercaptobenzothiazole (0.34 g, 1.6 mmol), potassium carbonate (0.22 g, 1.6 mmols) and N,N-dimethylformamide (10 ml) was stirred at 60°C for 12 hours. The reaction mixture was cooled, poured into water, and extracted with ethyl acetate. The extract was washed with water and brine, and then dried with anhydrous magnesium sulfate. This was concentrated under reduced pressure, and the residue was purified through silica gel column

chromatography to give an amorphous solid of N-(2-fluorobenzyl)-4-[N'-[2-[3-(tert-butoxycarbonylaminomethyl)phenoxy]-4-chlorophenyl]-N'-[(6-ethoxybenzothiazol-2-ylsulfanyl)acetyl]]aminobutylamide (0.38 g, 44 %).

Please substitute the following paragraph for the second paragraph on page 275 of the specification.

Page 275, paragraph 2 (Once Amended)

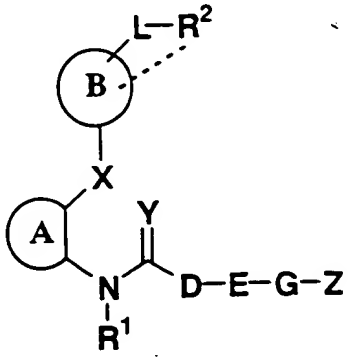
(2) A 2 N hydrochloric acid/ethyl acetate solution (6 ml) of N-(2-fluorobenzyl)-4-[N'-[2-[3-(tert-butoxycarbonylaminomethyl)phenoxy]-4-chlorophenyl]-N'-[(6- ethoxybenzothiazol -2-ylsulfanyl)acetyl]]aminobutylamide (0.28 g, 0.36 mmols) was stirred at room temperature for 1 hour. The reaction mixture was concentrated under reduced pressure. The solid precipitated was taken out through filtration, and washed with ethyl ether to give an amorphous solid of N-(2-fluorobenzyl)-4-[N'-[2-[3-(aminomethyl)phenoxy]-4-chlorophenyl]-N'-[(6-ethoxybenzothiazol-2-ylsulfanyl)acetyl]]aminobutylamide hydrochloride (0.26 g, 99 %).

In the Claims

Please cancel claims 8, 16, 19, 20 and 23 without prejudice to the filing of future continuing applications.

Please substitute the following claims 1, 12-14, 18, 21 and 22 for the claims 1, 12-14, 18, 21 and 22 now pending in the above-identified application.

1. (Once Amended) A Compound of the following formula, or a salt thereof:



(I)

wherein Ring A represents an optionally-substituted aromatic ring;

a14 Ring B represents an optionally-substituted cyclic hydrocarbon group;

Z represents an optionally-substituted cyclic group;

R^1 represents a hydrogen atom, an optionally-substituted hydrocarbon group, an optionally-substituted heterocyclic group, or an acyl group;

R^2 represents an optionally-substituted amino group;

D represents an optionally substituted divalent hydrocarbon group;

E represents -CON(R^a)-

wherein R^a represents a hydrogen atom or an optionally-substituted hydrocarbon group;

G represents an optionally substituted divalent hydrocarbon group;

L represents (1) a chemical bond or (2) a divalent hydrocarbon group optionally having from 1 to 5 substituents selected from;

(i) a C_{1-6} alkyl group,

(ii) a halogeno-C_{1-6} alkyl group,

(iii) a phenyl group,

(iv) a benzyl group,

(v) an optionally-substituted amino group,

(vi) an optionally-substituted hydroxy group, and

(vii) a carbamoyl or thiocarbamoyl group optionally substituted by:

<1> a C_{1-6} alkyl group,

<2> an optionally-substituted phenyl group, or

<3> an optionally-substituted heterocyclic group,

and optionally interrupted by -O- or -S-;

X represents an oxygen atom, an optionally-oxidized sulfur atom, an optionally-substituted nitrogen atom, or an optionally-substituted divalent hydrocarbon group;

Y represents two hydrogen atoms, an oxygen atom or a sulfur atom;

.....means that R^2 may be bonded to the atom on Ring B to form a ring.

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12. (Once Amended) A Compound as claimed in claim 1, wherein Ring B along with R^2 does not form a nitrogen-containing hetero ring.

13. (Once Amended) A Compound as claimed in claim 1, wherein Y is two hydrogen atoms, R^1 is an acyl group, and Ring B along with R^2 does not form a nitrogen-containing hetero ring.

14. (Once Amended) A Compound as claimed in claim 1,

wherein Ring A is an optionally-substituted benzene or pyridine ring;

Ring B is a benzene or cyclohexane ring optionally substituted by a C_{1-6} alkoxy group, or is a tetrahydroisoquinoline or isoindoline ring formed along with R^2 bonded thereto;

Z is a C_{6-14} aryl, C_{3-10} cycloalkyl, piperidyl, thienyl, furyl, pyridyl, thiazolyl, indanyl or indolyl group optionally having from 1 to 3 substituents selected from a halogen atom, a formyl group, a halogeno-C_{1-6} alkyl group, a C_{1-6} alkoxy group, a C_{1-6} alkyl-carbonyl group, an oxo group and a pyrrolidinyl group;

D is a C_{1-6} alkylene group;

G is a C_{1-6} alkylene group optionally having a phenylene group and optionally substituted by a phenyl group;

R^1 is (a) a hydrogen atom, (b) a C_{1-6} alkyl, C_{2-6} alkenyl, C_{6-14} aryl or C_{7-14} aralkyl group optionally substituted by substituent(s) selected from

(1) a halogen atom,

(2) a nitro group,

(3) an amino group optionally substituted by one or two substituents selected from a C_{1-6} alkyl-carbonyl group, a C_{6-14} aryl-carbonyl group, a C_{1-6} alkyl group, a C_{1-6} alkyloxy-carbonyl group, a C_{7-14} aralkyloxy-carbonyl group, a C_{1-6} alkyl-sulfonyl group and a C_{6-14} aryl-sulfonyl group,

(4) (i)a C_{1-6} alkyl group optionally substituted by a hydroxy group, a C_{1-6} alkyl-carbonyl group, a C_{6-14} aryl-carbonyl group, a carboxyl group or a C_{1-6} alkoxy-carbonyl group, (ii) a phenyl group optionally substituted by a hydroxy group, (iii) a benzoyl group, or (iv) a hydroxy group optionally substituted by a mono- or di-C_{1-6} alkylamino-carbonyl group,

(5) a C_{3-6} cycloalkyl group,

(6) a phenyl group optionally substituted by a hydroxy group or a halogeno-C_{1-6} alkyl group, and

(7) a thienyl group, a furyl group, a thiazolyl group, an indanyl group, an indolyl or a benzyloxycarbonylpiperidyl group, or (c) an acyl group;

R^2 is (1) an unsubstituted amino group, (2) a piperidyl group, or (3) an amino group optionally having one or two substituents selected from

(i) a benzyl group,

(ii) a C_{1-6} alkyl group optionally substituted by an amino or phenyl group,

(iii) a mono- or di-C_{1-6} alkyl-carbamoyl or -thiocarbamoyl group,

(iv) a C_{1-6} alkoxy-carbonyl group,

(v) a C_{1-6} alkyl-sulfonyl group,

(vi) a piperidylcarbonyl group, and

(vii) a C_{1-6} alkyl-carbonyl group optionally substituted by a halogen atom or an amino group;

E is -CON(R^a)-

wherein R^a is a hydrogen atom or a C_{1-6} alkyl group; and

L is a C_{1-6} alkylene group optionally interrupted by -O- and optionally substituted by a C_{1-6} alkyl group.

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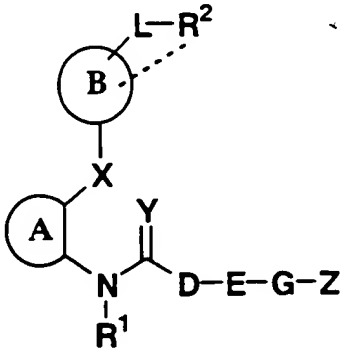
18. (Once Amended) A pharmaceutical composition comprising:

a compound of the following formula, or a salt thereof:



(I)

wherein Ring A represents an optionally-substituted aromatic ring;

Ring B represents an optionally-substituted cyclic hydrocarbon group;

Z represents an optionally-substituted cyclic group;

R^1 represents a hydrogen atom, an optionally-substituted hydrocarbon group, an optionally-substituted heterocyclic group, or an acyl group;

R^2 represents an optionally-substituted amino group;

D represents an optionally substituted divalent hydrocarbon group;

E represents $-CON(R^a)-$

wherein R^a represents a hydrogen atom or an optionally-substituted hydrocarbon group;

G represents an optionally substituted divalent hydrocarbon group;

a 16 cont -

L represents (1) a chemical bond or (2) a divalent hydrocarbon group optionally having from 1 to 5 substituents selected from;

(i) a C_{1-6} alkyl group,

(ii) a halogeno-C_{1-6} alkyl group,

(iii) a phenyl group,

(iv) a benzyl group,

(v) an optionally-substituted amino group,

(vi) an optionally-substituted hydroxy group, and

(vii) a carbamoyl or thiocarbamoyl group optionally substituted by:

<1> a C_{1-6} alkyl group,

<2> an optionally-substituted phenyl group, or

<3> an optionally-substituted heterocyclic group,

and optionally interrupted by -O- or -S-;

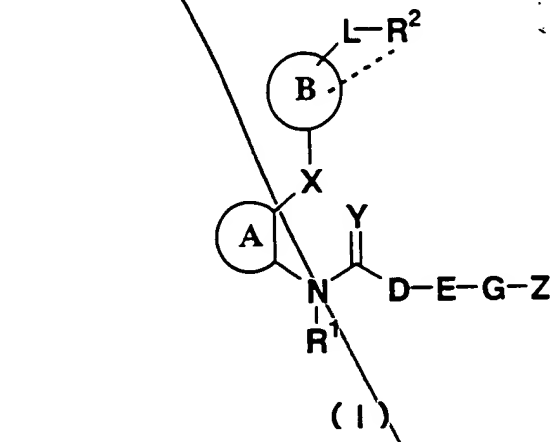
X represents an oxygen atom, an optionally-oxidized sulfur atom, an optionally-substituted nitrogen atom, or an optionally-substituted divalent hydrocarbon group;

Y represents two hydrogen atoms, an oxygen atom or a sulfur atom;

.... means that R^2 may be bonded to the atom on Ring B to form a ring

and a pharmaceutically acceptable carrier.

21. (Once Amended) A method for treating diabetes, obesity, complications of diabetes, or intractable diarrhea comprising administering a pharmaceutically effective amount of a compound of the following formula or a salt thereof



(I)

wherein Ring A represents an optionally-substituted aromatic ring;

Ring B represents an optionally-substituted cyclic hydrocarbon group;

Z represents an optionally-substituted cyclic group;

R^1 represents a hydrogen atom, an optionally-substituted hydrocarbon group, an optionally-substituted heterocyclic group, or an acyl group;

R^2 represents an optionally-substituted amino group;

D represents an optionally substituted divalent hydrocarbon group;

E represents -CON(R^a)-

wherein R^a represents a hydrogen atom or an optionally-substituted hydrocarbon group;

G represents an optionally substituted divalent hydrocarbon group;

L represents (1) a chemical bond or (2) a divalent hydrocarbon group optionally having from 1 to 5 substituents selected from;

(i) a C_{1-6} alkyl group,

(ii) a halogeno-C_{1-6} alkyl group,

(iii) a phenyl group,

(iv) a benzyl group,

(v) an optionally-substituted amino group,

(vi) an optionally-substituted hydroxy group, and

(vii) a carbamoyl or thiocarbamoyl group optionally substituted by:

<1> a C_{1-6} alkyl group,

<2> an optionally-substituted phenyl group, or

<3> an optionally-substituted heterocyclic group,

and optionally interrupted by -O- or -S-;

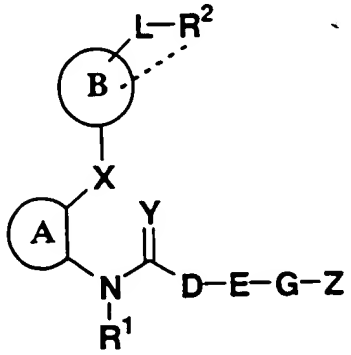
X represents an oxygen atom, an optionally-oxidized sulfur atom, an optionally-substituted nitrogen atom, or an optionally-substituted divalent hydrocarbon group;

Y represents two hydrogen atoms, an oxygen atom or a sulfur atom;

.... means that R^2 may be bonded to the atom on Ring B to form a ring

to a mammal in need thereof.

22. (Once Amended) A method for regulating the somatostatin receptor function, which comprises administering a compound of a formula (I):



(I)

wherein Ring A represents an optionally-substituted aromatic ring;

Ring B represents an optionally-substituted cyclic hydrocarbon group;

Z represents an optionally-substituted cyclic group;

R^1 represents a hydrogen atom, an optionally-substituted hydrocarbon group, an optionally-substituted heterocyclic group, or an acyl group;

R^2 represents an optionally-substituted amino group;

D represents an optionally substituted divalent hydrocarbon group;

E represents $-CON(R^a)-$

wherein R^a represents a hydrogen atom or an optionally-substituted hydrocarbon group;

G represents an optionally substituted divalent hydrocarbon group;

L represents (1) a chemical bond or (2) a divalent hydrocarbon group optionally having from 1 to 5 substituents selected from;

(i) a C_{1-6} alkyl group,

(ii) a halogeno-C_{1-6} alkyl group,

(iii) a phenyl group,

(iv) a benzyl group,

(v) an optionally-substituted amino group,

(vi) an optionally-substituted hydroxy group, and

(vii) a carbamoyl or thiocarbamoyl group optionally substituted by:

<1> a C_{1-6} alkyl group,

<2> an optionally-substituted phenyl group, or

<3> an optionally-substituted heterocyclic group,

and optionally interrupted by -O- or -S-;

X represents an oxygen atom, an optionally-oxidized sulfur atom, an optionally-substituted nitrogen atom, or an optionally-substituted divalent hydrocarbon group;

Y represents two hydrogen atoms, an oxygen atom or a sulfur atom;

.... means that R^2 may be bonded to the atom on Ring B to form a ring, or its salt

to a mammal in need thereof.

Version with Markings to Show Changes Made

In the Specification

Page 18, paragraph 2 (Once Amended)

In the above-mentioned formulae, Ring B is an optionally-substituted cyclic hydrocarbon group. The cyclic hydrocarbon group may be a 3- to 14-membered one, but preferably a 5- to 8-membered one, more preferably a 5- or 6-membered one. Preferably, Ring B is an optionally-substituted aromatic hydrocarbon group. In particular, an optionally-substituted phenyl group is much used for it. The cyclic hydrocarbon group for Ring B may be, for example, an alicyclic hydrocarbon group having from 3 to 14 carbon atoms, or an aromatic hydrocarbon group having from 6 to 14 carbon atoms. The alicyclic hydrocarbon group includes, for example, a C_{3-14} cycloalkyl group (e.g., cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, etc.), a C_{3-14} **[cyclcoalkenyl]** **cycloalkenyl** group (e.g., cyclopentenyl, cyclohexenyl, etc.), a C_{5-14} cycloalkadienyl group (e.g., 2,4-cyclopentadienyl, 1,3-cyclohexadienyl, etc.), an indanyl group, etc. Preferably, it is a 5- to 8-membered alicyclic hydrocarbon group. The aromatic hydrocarbon group for Ring B may be, for example, an aromatic hydrocarbon group having from 6 to 14 carbon atoms (e.g., a C_{6-14} aryl group, such as phenyl, naphthyl, anthranyl, phenanthryl, etc.). Preferably, it is a 6- to 10-membered aromatic hydrocarbon group; and more preferred is a phenyl group.

Page 19, paragraph 1 (Once Amended)

The substituents which the alicyclic hydrocarbon group and the aromatic hydrocarbon group for Ring B may have include, for example, a halogen atom (e.g., fluorine, chlorine, bromine, iodine, etc.), a C_{1-6} alkyl group (e.g., methyl, ethyl, propyl, butyl, sec-butyl, t-butyl,

isopropyl, etc.), a halogeno-C_{1-6} alkyl group (e.g., a C_{1-6} alkyl group substituted by from 1 to 5 halogen atoms such as those mentioned above, etc.; e.g., trifluoromethyl, etc.), a phenyl group, a benzyl group, a C_{1-6} alkoxy group (e.g., methoxy, ethoxy, propoxy, butoxy, sec-butoxy, t-butoxy, isopropoxy, etc.), a halogeno-C_{1-6} alkoxy group (e.g., a C_{1-6} alkoxy group substituted by from 1 to 5 halogen atoms such as those mentioned above, etc.; e.g., trifluoromethoxy, chloropropyloxy, etc.), a phenoxy group, a C_{7-14} aralkyloxy group (e.g., benzyloxy, phenethyloxy, phenylpropyloxy, etc.), a formyloxy group, a C_{1-6} alkyl-carbonyloxy group (e.g., acetyloxy, etc.), a C_{1-6} alkylthio group (e.g., methylthio, ethylthio, propylthio, butylthio, sec-butylthio, t-butylthio, isopropylthio, etc.), a halogeno-C_{1-6} alkylthio group (e.g., a C_{1-6} alkylthio group substituted by from 1 to 5 halogen atoms such as those mentioned above; e.g., trifluoromethylthio, etc.), a hydroxy group, a mercapto group, a cyano group, a nitro group, a carboxyl group, a formyl group, a C_{1-6} alkyl-carbonyl group (e.g., acetyl, propionyl, etc.), a benzoyl group, a C_{1-6} alkoxy-carbonyl group (e.g., methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, etc.), a phenoxycarbonyl group, an amino group, a mono- or di-C_{1-6} alkylamino group (e.g., methylamino, ethylamino, dimethylamino, diethylamino, etc.), a formylamino group, a C_{1-6} alkyl-carbonylamino group (e.g., acetylamino, propionylamino, butyrylamino, etc.), a carbamoyl group, a thiocarbamoyl group, a mono- or di-C_{1-6} alkyl-carbamoyl group (e.g., N-methylcarbamoyl, N-ethylcarbamoyl, N,N-dimethylcarbamoyl, N,N-diethylcarbamoyl, etc.), a mono- or di-C_{1-6} alkyl-thiocarbamoyl group (e.g., N-methylthiocarbamoyl, N-ethylthiocarbamoyl, N,N-dimethylthiocarbamoyl, N,N-diethylthiocarbamoyl, etc.), a sulfo group, a C_{1-6} alkylsulfonyl group (e.g., methylsulfonyl, ethylsulfonyl, propylsulfonyl, etc.), a benzoyl-C_{1-6} alkoxy group (e.g., benzoylmethyloxy, etc.), a hydroxy-C_{1-6} alkoxy group (e.g., hydroxyethyloxy, etc.), a C_{1-6} alkoxy-carbonyl-C_{1-6} alkoxy group (e.g., methoxycarbonylmethyloxy, etc.), a C_{3-14} cycloalkyl-C_{1-6} alkoxy group (e.g., cyclohexylmethyloxy, etc.), an imidazol-1-yl-C_{1-6} alkoxy group (e.g., imidazol-1-ylpropyloxy,

etc.), a C_{7-14} aralkyloxy-carbonyl-C_{1-6} alkoxy group (e.g., benzyloxycarbonylmethyloxy, etc.), a hydroxyphenyl-C_{1-6} alkoxy group (e.g., [3-(4- **[hydoxyphenyl]** **hydroxyphenyl**)propyl]oxy, etc.), a C_{7-14} aralkyloxy-carbonyl group (e.g., benzyloxycarbonyl, phenethyloxycarbonyl, phenylpropyloxycarbonyl, etc.), a mono- or di-C_{1-6} alkylamino-C_{1-6} alkoxy group (e.g., methylaminomethoxy, ethylaminoethoxy, dimethylaminomethoxy, etc.), a mono- or di-C_{1-6} alkylamino-carbonyloxy group (e.g., methylaminocarbonyloxy, ethylaminocarbonyloxy, dimethylaminocarbonyloxy, etc.), etc. The alicyclic hydrocarbon group and the aromatic hydrocarbon group may have from 1 to 4 substituents selected from those mentioned above.

Page 21, paragraph 3 (Once Amended)

The nitrogen-containing hetero ring to be formed by the adjacent atoms of Ring B and L and R^2 bonded thereto may be, for example, a bicyclic, condensed, nitrogen-containing hetero ring (preferably, a bicyclic, non-aromatic, condensed, nitrogen-containing hetero ring) formed through condensation of an optionally-substituted cyclic hydrocarbon group (e.g., benzene ring, etc.) of Ring B and a 5- or 6-membered monocyclic hetero ring (preferably, a monocyclic non-aromatic hetero ring) having at least one nitrogen atom and additionally having one or two hetero atoms selected from nitrogen, oxygen and sulfur atoms, etc. Concretely, it includes tetrahydroisoquinolines (e.g., 1,2,3,4- **[tetrahydroisoquilonine]** **tetrahydroisoquinoline**), tetrahydroquinolines (e.g., 1,,3,4-tetrahydroquinoline), isoindolines, indolines, 2,3-dihydrobenzothiazoles, 2,3-dihydrobenzoxazoles, 3,4-dihydro-2H-1,4-benzothiazines, 3,4-dihydro-2H-1,4-benzoxazines, 1,2,3,4-tetrahydroquinoxalines, 2,3,4,5-tetrahydro-1,4-benzoxazepines, etc. Of those, preferred are tetrahydroisoquinolines. For the substituents which the optionally-substituted nitrogen-containing hetero ring may have, referred to are the same as those mentioned hereinabove for the alicyclic hydrocarbon group and the aromatic hydrocarbon

group for Ring B. The optionally-substituted nitrogen-containing hetero ring may have from 1 to 4 substituents selected from the above-mentioned ones.

Page 23, paragraph 3 (Once Amended)

The heterocyclic group for Z includes, for example, a monocyclic heterocyclic group, a polycyclic, condensed heterocyclic group, etc. The monocyclic heterocyclic group may be, for example, a 5- or 6-membered monocyclic heterocyclic group having from 1 to 4 hetero atoms selected from nitrogen, oxygen and sulfur atoms, in addition to carbon atoms. Concretely, it includes, for example, a monocyclic aromatic heterocyclic group (e.g., furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, furazanyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, triazinyl, etc.), a monocyclic non-aromatic heterocyclic group (e.g., oxiranyl, azetidinyl, oxetanyl, thietanyl, pyrrolidinyl, tetrahydrofuryl, **[thioranyl]** **thiolanyl**, piperidyl, tetrahydropyranyl, morpholinyl, thiomorpholinyl, piperazinyl, etc.), etc. The polycyclic condensed heterocyclic group includes, for example, a di- or tri-cyclic aromatic condensed heterocyclic group to be formed through condensation of two or three monocyclic aromatic hetero rings such as those mentioned hereinabove, a di- or tri-cyclic aromatic condensed heterocyclic group to be formed through condensation of one or two monocyclic aromatic hetero rings such as those mentioned above along with a benzene ring (preferably, a di- or tri-cyclic aromatic condensed heterocyclic group to be formed through condensation of one or two monocyclic aromatic hetero rings such as those mentioned above along with a benzene ring), and their partially reduced groups, etc. Concretely, it includes a polycyclic aromatic condensed heterocyclic group (e.g., benzofuryl, isobenzofuryl, benzo[b]thienyl, indolyl, isoindolyl, 1H-indazolyl, benzimidazolyl, benzoxazolyl, 1,2-benzoisoxazolyl, benzothiazolyl, 1,2-benzoisothiazolyl, 1H-benzotriazolyl, quinolyl, isoquinolyl,

cinnolyl, quinazolinyl, quinoxalinyl, **[phtharazinyl]** **phthalazinyl**, naphthyridinyl, purinyl, **[puteridinyl]** **pteridinyl**, dibenzofuryl, carbazolyl, α-carbolinyl, β-carbolinyl, γ-carbolinyl, acridinyl, phenoxazinyl, phenothiazinyl, phenazinyl, **[phenoxathinyl]** **phenoxathiinyl**, thianthrenyl, phenathridinyl, phenathrolinyl, indolidinyl, pyrrolo[1,2-b]pyridazinyl, pyrazolo[1,5-a] **[pyidiyl]** **pyridyl**, imidazo[1,2-a] **[pyridiyl]** **pyridyl**, imidazo[1,5-a]pyridyl, imidazo[1,2-a]pyridazinyl, imidazo[1,2-a]pyrimidinyl, 1,2,4-triazolo[4,3-a]pyridyl, 1,2,4-triazolo[4,3-b]pyridazinyl, etc.), a polycyclic non-aromatic condensed heterocyclic group (e.g., isochromanyl, chromanyl, indolyl, isoindolyl, 1,2,3,4-tetrahydroisoquinolyl, 1,2,3,4-tetrahydroquinolyl, etc.), etc.

Page 30, paragraph 4 (Once Amended)

The optionally-substituted amino group, one of the substituents for the hydrocarbon group for R^1 and for D, G and L, includes, for example, (1) an amino group optionally having one or two substituents selected from (i) a C_{1-6} alkyl group optionally substituted by from 1 to 5 halogen atoms such as those mentioned above, or by a C_{1-6} alkoxy group (e.g., methyl, ethyl, propyl, isopropyl, trifluoromethyl, etc.), a C_{6-10} aryl group (e.g., phenyl, etc.), a C_{7-14} aralkyl group (e.g., benzyl, etc.), (ii) a formyl group, a C_{1-6} alkyl-carbonyl group (e.g., acetyl, propionyl, butyryl, etc.), a C_{6-14} aryl-carbonyl group (e.g., benzoyl, etc.), (iii) a C_{1-6} alkoxy-carbonyl group (e.g., methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, sec-propoxycarbonyl, butoxycarbonyl, etc.), a C_{7-14} aralkyloxy-carbonyl group (e.g., benzyloxycarbonyl, phenethyloxycarbonyl, phenylpropyloxycarbonyl, etc.), (iv) a sulfo group, a C_{1-6} alkylsulfonyl group (e.g., methylsulfonyl, ethylsulfonyl, propylsulfonyl, sec-propylsulfonyl, butylsulfonyl, t-butylsulfonyl, etc.), a C_{6-14} arylsulfonyl group (e.g., benzenesulfonyl, naphthalenesulfonyl, anthracenesulfonyl, etc.) and (v) a C_{1-6} alkylamino-carbonyl group (e.g., methylaminocarbonyl,

ethylaminocarbonyl, propylaminocarbonyl, butylaminocarbonyl, dimethylaminocarbonyl, etc.), etc., and (2) a 5- or 6-membered, optionally-substituted cyclic amino group such as a pyrrolidinyl group, a piperidyl group, a morpholinyl group, a thiomorpholinyl group, a 4- **[methylpiperidiyl]** **methylpiperidyl** group, a 4- **[phenylpiperidiyl]** **phenylpiperidyl** group, etc.

Page 41, paragraph 5 (Once Amended)

The heterocyclic group of the optionally-substituted heterocyclic group, one of the substituents for the hydrocarbon group for R^1 and for L, includes, for example, a 5- or 6-membered monocyclic heterocyclic group having from 1 to 4 hetero atoms selected from nitrogen, oxygen and sulfur atoms, in addition to carbon atoms (e.g., furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, furazanyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, tetrazolyl, pyridyl, pyridazinyl, pyrimidinyl, triazinyl, oxiranyl, azetidinyl, oxetanyl, thietanyl, pyrrolidinyl, tetrahydrofuryl, **[thioranyl]** **thiolanyl**, piperidyl, tetrahydropyranyl, morpholinyl, thiomorpholinyl, piperazinyl, etc.); as well as a di- or tri-cyclic condensed heterocyclic group to be formed through condensation of 5- or 6-membered monocyclic hetero rings such as those mentioned hereinabove, and a di- or tri-cyclic condensed heterocyclic group to be formed through condensation of such 5- or 6-membered monocyclic hetero rings along with a benzene ring (preferably, a benzene ring-containing, di- or tri-cyclic condensed heterocyclic group) (e.g., benzofuryl, isobenzofuryl, benzo[b]thienyl, indolyl, isoindolyl, 1H-indazolyl, benzimidazolyl, benzoxazolyl, 1,2-benzoisoxazolyl, benzothiazolyl, 1,2-benzoisothiazolyl, 1H-benzotriazolyl, quinolyl, isoquinolyl, cinnolyl, quinazolinyl, quinoxalinyl, **[phtharazinyl]** **phthalazinyl**, naphthyridinyl, purinyl, **[puteridinyl]** **pteridinyl**, dibenzofuryl, carbazolyl, α-carbolinyl, β-carbolinyl, γ-carbolinyl, acridinyl, phenoxazinyl,

phenothiazinyl, phenazinyl, **[phenoxathinyl]** **phenoxathiinyl**, thianthrenyl, phenathridinyl, phenathrolinyl, indolidinyl, pyrrolo[1,2-b]pyridazinyl, pyrazolo[1,5-a] **[pyidiyl]** **pyridyl**, imidazo[1,2-a] **[pyridiyl]** **pyridyl**, imidazo[1,5-a]pyridyl, imidazo[1,2-a]pyridazinyl, imidazo[1,2-a]pyrimidinyl, 1,2,4-triazolo[4,3-a]pyridyl, 1,2,4-triazolo[4,3-b]pyridazinyl, isochromanyl, chromanyl, indolyl, isoindolyl, etc.), etc. For the substituents which the heterocyclic group may have, referred to are those mentioned hereinabove for the substituents for the aromatic ring for Ring A. In addition to those, the substituents for the heterocyclic group further include an oxy group, a pyrrolidinyl group, etc. The heterocyclic group may have from 1 to 5 substituents selected from them.

Page 72, paragraph 2 (Once Amended)

The solvent which may be used in the Curtius transfer reaction of the product obtained through the reaction as above includes, for example, hydrocarbon solvents (e.g., benzene, toluene, xylene, etc.), ether solvents (e.g., diethyl ether, tetrahydrofuran, dioxane, etc.), halogen-containing solvents (e.g., dichloromethane, **[dichlcoroethane]** **dichloroethane**, chloroform, etc.), dimethylformamide, etc. The reaction temperature may fall between 50 and 200°C, but preferably between 80 and 150°C; and the reaction time may fall between 0.5 and 12 hours, preferably between 1 and 3 hours.

Page 72, paragraph 3 (Once Amended)

The solvent which may be used in the step or processing the resulting product with an acid includes, for example, water, dioxane, dimethylformamide, etc. The acid to be used **[ma]** **may** be a mineral acid, including, for example, sulfuric acid, hydrochloric acid, nitric acid, hydrobromic acid, etc. The reaction temperature may fall between 20 and 200°C, but preferably

between 50 and 100°C; and the reaction time may fall between 0.5 and 5 hours, preferably between 1 and 2 hours.

Page 74, paragraph 3 (Once Amended)

The compounds (Ia-f) or their salts may be produced, for example, by reacting a compound (IIa) or its salt with a compound (VII) or its salt in a solvent, optionally in the presence of a base, by the use of a condensation agent. The solvent usable in the reaction includes, for example, ether solvent (e.g., diethyl ether, tetrahydrofuran, dioxane, etc.), halogen-containing solvent (e.g., dichloromethane, **[dichlcoroethane] dichloroethane**, chloroform, carbon tetrachloride, etc.), acetonitrile, dimethylformamide, etc. The base usable therein includes, for example, triethylamine, 4-dimethylaminopyridine, triethylenediamine, tetramethylethylenediamine, etc. The condensation agent usable therein may be any ordinary one generally employed in peptide production. Concretely, it includes, for example, dicyclohexylcarbodiimide, diethyl cyanophosphate, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide, etc. For example, from 0.5 to 2 molar equivalents, preferably from 1 to 1.2 molar equivalents of the compound (VII) or its salt is reacted with one mol of the compound (IIa) or its salt, and the amount of the condensation agent to be used in the reaction may fall between 0.5 and 5 molar equivalents, preferably between 1 and 2 molar equivalents. The reaction temperature may fall between 0 and 100°C, but preferably between 20 and 50°C; and the reaction time may fall between 0.5 and 24 hours, preferably between 1 and 5 hours.

Page 89, paragraph 3 (Once Amended)

Prodrugs of the compounds having a somatostatin receptor function-regulating effect for use in the invention are meant to indicate compounds capable of being converted into the

intended compounds having a somatostatin receptor function-regulating effect through reaction with enzymes, gastric acids or the like in vivo or under physiological conditions, including, for example, those capable of being enzymatically oxidized, reduced or hydrolyzed to give the intended compounds having a somatostatin receptor function-regulating effect, those capable of being hydrolyzed with gastric acids to give the intended compounds having a somatostatin receptor function-regulating effect. Concretely, such prodrugs of the compounds having a somatostatin receptor function-regulating effect include those derived from the compounds having a somatostatin receptor function-regulating effect by acylating, alkylating of phosphorylating the amino group of the compounds (e.g., those derived from the compounds having a somatostatin receptor function-regulating effect by eicosanoylating, alanylating, **[pentylmaminocarbonylating]** **pentylaminocarbonylating**, (5-methyl-2-oxo-1,3-dioxolen-1-yl)methoxycarbonylating, tetrahydrofuranylating, pyrrolidylmethylating, pivaloyloxymethylating or tert-butylating the amino group of the compounds, etc.); those derived from the compounds having a somatostatin receptor function-regulating effect by acylating, alkylating, phosphorylating or borylating the hydroxy group of the compounds (e.g., those derived from the compounds having a somatostatin receptor function-regulating effect by acetylating, palmitoylating, propanoylating, pivaloylating, succinylating, fumarylating, alanylating or dimethylaminomethylcarbonylating the hydroxy group of the compounds, etc.); those derived from the compounds having a somatostatin receptor function-regulating effect by esterifying or amidating the carboxyl group of the compounds (e.g., those derived from the compounds having a somatostatin receptor function-regulating effect by ethyl-esterifying, phenyl-esterifying, carboxymethyl-esterifying, dimethylaminomethyl-esterifying, pivaloyloxymethyl-esterifying, ethoxycarbonyloxyethyl-esterifying, phthalidyl-esterifying, (5-methyl-2-oxo-1,3-dioxolen-4-yl)methyl-esterifying, cyclohexyloxycarbonylethyl-esterifying or methyl-amidating the carboxyl group of the compounds, etc.), etc. These compounds may be

derived from the compounds having a somatostatin receptor function-regulating effect in any per-se known method.

Page 274, paragraph 2 (Once Amended)

(1) A mixture of N-(2-fluorobenzyl)-4-[N'-[2-[3-(tert-butoxycarbonylaminomethyl)phenoxy]-4-chlorophenyl]-N'-chloroacetyl]aminobutylamide (0.67 g, 1.1 mmols), 6-ethoxy-2-mercaptobenzothiazole (0.34 g, 1.6 mmol), potassium carbonate (0.22 g, 1.6 mmols) and N,N-dimethylformamide (10 ml) was stirred at 60°C for 12 hours. The reaction mixture was cooled, poured into water, and extracted with ethyl acetate. The extract was washed with water and brine, and then dried with anhydrous magnesium sulfate. This was concentrated under reduced pressure, and the residue was purified through silica gel column chromatography to give an amorphous solid of N-(2-fluorobenzyl)-4-[N'-[2-[3-(tert-butoxycarbonylaminomethyl)phenoxy]-4-chlorophenyl]-N'-[(6- **[ethoxybezothiazol]** **ethoxybenzothiazol** -2-ylsulfanyl)acetyl]]aminobutylamide (0.38 g, 44 %).

Page 275, paragraph 2 (Once Amended)

(2) A 2 N hydrochloric acid/ethyl acetate solution (6 ml) of N-(2-fluorobenzyl)-4-[N'-[2-[3-(tert-butoxycarbonylaminomethyl)phenoxy]-4-chlorophenyl]-N'-[(6- **[ethoxybezothiazol]** **ethoxybenzothiazol** -2-ylsulfanyl)acetyl]]aminobutylamide (0.28 g, 0.36 mmols) was stirred at room temperature for 1 hour. The reaction mixture was concentrated under reduced pressure. The solid precipitated was taken out through filtration, and washed with ethyl ether to give an amorphous solid of N-(2-fluorobenzyl)-4-[N'-[2-[3-(aminomethyl)phenoxy]-4-chlorophenyl]-N'-[(6-ethoxybenzothiazol-2-ylsulfanyl)acetyl]]aminobutylamide hydrochloride (0.26 g, 99 %).